

## STN SEARCH

10/677733

FILE 'HOME' ENTERED AT 18:36:15 ON 06 FEB 2006

=&gt; file .nash

=&gt; s pas kinase and nmr

L1 2 FILE MEDLINE  
L2 3 FILE CAPLUS  
L3 2 FILE SCISEARCH  
L4 0 FILE LIFESCI  
L5 2 FILE BIOSIS  
L6 2 FILE EMBASE

TOTAL FOR ALL FILES

L7 11 PAS KINASE AND NMR

=&gt; s pas and nmr

TOTAL FOR ALL FILES

L14 329 PAS AND NMR

=&gt; s l14 and inhibitor

TOTAL FOR ALL FILES

L21 9 L14 AND INHIBITOR

=&gt; s l7 or l21

TOTAL FOR ALL FILES

L28 20 L7 OR L21

=&gt; s l28 not 2004-2006/py

TOTAL FOR ALL FILES

L35 13 L28 NOT 2004-2006/PY

=&gt; dup rem l35

PROCESSING COMPLETED FOR L35

L36 5 DUP REM L35 (8 DUPLICATES REMOVED)

=&gt; d ibib abs 1-5

L36 ANSWER 1 OF 5 MEDLINE on STN DUPLICATE 1

ACCESSION NUMBER: 2002619803 MEDLINE Full-text

DOCUMENT NUMBER: PubMed ID: 12377121

TITLE: Structure and interactions of PAS kinase  
N-terminal PAS domain: model for intramolecular kinase  
regulation.

COMMENT: Comment in: Chem Biol. 2002 Nov;9(11):1165-6. PubMed ID:  
12445766

AUTHOR: Amezcua Carlos A; Harper Shannon M; Rutter Jared; Gardner  
Kevin H

CORPORATE SOURCE: Department of Biochemistry, The University of Texas  
Southwestern Medical Center, Dallas, TX 75390, USA.

CONTRACT NUMBER: CA-90601 (NCI)

SOURCE: Structure (Cambridge, Mass. : 2001), (2002 Oct) 10 (10)  
1349-61.

Journal code: 101087697. ISSN: 0969-2126.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

OTHER SOURCE: PDB-1LL8

ENTRY MONTH: 200304

ENTRY DATE: Entered STN: 20021015

Last Updated on STN: 20030418

Entered Medline: 20030417

AB PAS domains are sensory modules in signal-transducing proteins that control responses to various environmental stimuli. To examine how those domains can regulate a eukaryotic kinase, we have studied the structure and binding interactions of the N-terminal PAS domain of human PAS kinase using solution NMR methods. While this domain adopts a characteristic PAS fold, two regions are unusually flexible in solution. One of these serves as a portal that allows small organic compounds to enter into the core of the domain, while the other binds and inhibits the kinase domain within the same protein. Structural and functional analyses of point mutants demonstrate that the compound and ligand binding regions are linked, suggesting that the PAS domain serves as a ligand-regulated switch for this eukaryotic signaling system.

L36 ANSWER 2 OF 5 SCISEARCH COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 1998:299862 SCISEARCH Full-text  
THE GENUINE ARTICLE: ZG563  
TITLE: Incorporation of chirally deuterated putrescines into  
pyrrolizidine alkaloids: A reinvestigation  
AUTHOR: Graser G; Witte L; Robins D J; Hartmann T (Reprint)  
CORPORATE SOURCE: Tech Univ Braunschweig, Inst Pharmazeut Biol,  
Mendelssohnstr 1, D-38106 Braunschweig, Germany (Reprint);  
Tech Univ Braunschweig, Inst Pharmazeut Biol, D-38106  
Braunschweig, Germany; Univ Glasgow, Dept Chem, Glasgow  
G12 8QQ, Lanark, Scotland  
COUNTRY OF AUTHOR: Germany; Scotland  
SOURCE: PHYTOCHEMISTRY, (MAR 1998) Vol. 47, No. 6, pp. 1017-1024.  
ISSN: 0031-9422.  
PUBLISHER: PERGAMON-ELSEVIER SCIENCE LTD, THE BOULEVARD, LANGFORD  
LANE, KIDLINGTON, OXFORD OX5 1GB, ENGLAND.  
DOCUMENT TYPE: Article; Journal  
LANGUAGE: English  
REFERENCE COUNT: 30  
ENTRY DATE: Entered STN: 1998  
Last Updated on STN: 1998

\*ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS\*

AB Based on previous tracer work and recent enzymatic studies it can be predicted that incorporation of (S)-1-H-2]putrescine via the symmetrical intermediate homospermidine into the necine base moiety of pyrrolizidine alkaloids (PAs) should proceed with 50% retention of deuterium. However, values of only 34 to 34.5% retention had been found independently in two laboratories in the past. These results were confirmed in this study. Deuterium isotope effects during homospermidine formation as a reason for the low retention could be excluded by GC mass spectral studies. Doubly-labelled [H-2-C-14]putrescine was fed to *Senecio vulgaris* root cultures and by means of quantitative GC mass spectrometry the specific H-2-retention was established for various intermediates of PA-biosynthesis such as putrescine, spermidine and homospermidine. The results clearly indicate that H-2 is stereoselectively lost from (S)-[1-H-2]-labelled putrescine during its reversible inter-conversion with spermidine. This loss corresponds precisely to the above mentioned difference between measured and predicted H-2-retention. Since (S)-[1-H-2]-labelled putrescine is incorporated into spermidine with deuterium retention, it is most likely the H-2 is lost during the conversion of spermidine into putrescine. The mechanism of this unusual reaction which is insensitive to beta-hydroxyethylhydrazine (a potent diamine oxidase inhibitor) needs to be elucidated. (C) 1998 Elsevier Science Ltd. All rights reserved.

L36 ANSWER 3 OF 5 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 1997:446875 BIOSIS Full-text  
DOCUMENT NUMBER: PREV199799746078  
TITLE: Effects of hypoxia and toxicant exposure on arginine kinase  
function as measured by <sup>31</sup>P-NMR magnetization  
transfer in living abalone.  
AUTHOR(S): Shofer, Scott L.; Willis, James A.; Tjeerdema, Ronald S.  
[Reprint author]  
CORPORATE SOURCE: Dep. Chem., Univ. California, Santa Cruz, CA 95064, USA  
SOURCE: Comparative Biochemistry and Physiology C Pharmacology  
Toxicology and Endocrinology, (1997) Vol. 117, No. 3, pp.  
283-289.  
CODEN: CBPCEE. ISSN: 0742-8413.  
DOCUMENT TYPE: Article  
LANGUAGE: English  
ENTRY DATE: Entered STN: 8 Oct 1997  
Last Updated on STN: 8 Oct 1997

AB The activity of arginine kinase (AK) was evaluated by saturation transfer NMR in red abalone (*Haliotis rufescens*) in response to hypoxia, sodium azide (NaN-3; an inhibitor of cytochrome c oxidase), or pentachlorophenol (PCP; an uncoupler of oxidative phosphorylation) exposure. Pseudo-first order rate constants (K-for) in the forward (ATP forming) reaction direction showed maxima (increases from basal values of 0.025 s<sup>-1</sup> to 0.095, 0.114, 0.126 s<sup>-1</sup> for NaN-3 hypoxia, and PCP exposures, respectively. Increases in K-for were inversely correlated (r<sup>2</sup> = 1.00) to declines in ATP concentration in all exposed animals. Flux (the product of K-for and phosphoarginine concentration) appeared

to converge on a common value, from basal flux values of 0.257 mM PA s<sup>-1</sup> to 0.703, 0.770, and 0.627 mM PAs<sup>-1</sup> for NaN-3, hypoxia, and PCP exposures, respectively. It seems likely that all three stresses were equally effective at inhibiting mitochondrial ATP formation, which may account for the similarity in flux increase, possibly to maximal rates of AK-mediated ATP formation. Differences in K<sub>for</sub> are related to declines in ATP concentrations, which appear to be stress specific, and likely indicate additional mechanisms of toxicity for NaN-3 and PCP.

L36 ANSWER 4 OF 5 SCISEARCH COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 1997:127069 SCISEARCH Full-text  
 THE GENUINE ARTICLE: WG219  
 TITLE: Pas oncoprotein inhibitors: The discovery of potent, ras nucleotide exchange inhibitors and the structural determination of a drug-protein complex  
 AUTHOR: Taveras A G (Reprint); Remiszewski S W; Doll R J; Cesarz D; Huang E C; Kirschmeier P; Pramanik B N; Snow M E; Wang Y S; delRosario J D; Vibulbhan B; Bauer B B; Brown J E; Carr D; Catino J; Evans C A; Giriavallabhan V; Heimark L; James L; Liberles S; Nash C; Perkins L; Senior M M; Tsarbopoulos A; Ganguly A K; Aust R; Brown E; Delisle D; Fuhrman S; Hendrickson T; Kissinger C; Love R; Sisson W; Villafranca E; Webber S E  
 CORPORATE SOURCE: SCHERING PLOUGH CORP, RES INST, KENILWORTH, NJ 07033; AGOURON PHARMACEUT, SAN DIEGO, CA 92121  
 COUNTRY OF AUTHOR: USA  
 SOURCE: BIOORGANIC & MEDICINAL CHEMISTRY, (JAN 1997) Vol. 5, No. 1, pp. 125-133.  
 ISSN: 0968-0896.  
 PUBLISHER: PERGAMON-ELSEVIER SCIENCE LTD, THE BOULEVARD, LANGFORD LANE, KIDLINGTON, OXFORD, ENGLAND OX5 1GB.  
 DOCUMENT TYPE: Article; Journal  
 LANGUAGE: English  
 REFERENCE COUNT: 25  
 ENTRY DATE: Entered STN: 1997  
 Last Updated on STN: 1997

\*ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS\*

AB The nucleotide exchange process is one of the key activation steps regulating the ras protein. This report describes the development of potent, non-nucleotide, small organic inhibitors of the ras nucleotide exchange process. These inhibitors bind to the ras protein in a previously unidentified binding pocket, without displacing bound nucleotide. This report also describes the development and use of mass spectrometry, NMR spectroscopy and molecular modeling techniques to elucidate the structure of a drug-protein complex, and aid in designing new ras inhibitor targets. Copyright (C) 1997 Elsevier Science Ltd.

L36 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 1995:897065 CAPLUS Full-text  
 DOCUMENT NUMBER: 123:333403  
 TITLE: Catalytic Activity of the SH2 Domain of Human pp60c-src; Evidence from NMR, Mass Spectrometry, Site-Directed Mutagenesis and Kinetic Studies for an Inherent Phosphatase Activity  
 AUTHOR(S): Boerner, Renee J.; Consler, Thomas G.; Gampe, Robert T.; Weigl, Debbra; Willard, Derril H.; Davis, Donald G.; Edison, Ann M.; Loganzo, Frank, Jr.; Kassel, D. B.; et al.  
 CORPORATE SOURCE: Department of Biochemistry, Glaxo Research Institute, Research Triangle Park, NC, 27709, USA  
 SOURCE: Biochemistry (1995), 34(46), 15351-8  
 CODEN: BICHAW; ISSN: 0006-2960  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB During solution structural studies it was apparent that the human recombinant pp60c-src SH2 domain (srcSH2, residues 144-249) possessed an inherent phosphatase (Pase) activity. Complexes of U[13C,15N]srcSH2 with unlabeled Ac-pYEEIE (I) were examined using 31P and 1H-detected isotope filtered NMR methods. The presence of a high-affinity complex in

equimolar solns. of I and U[13C,15N]srcSH2 was demonstrated by chemical shift perturbations, line broadening, and the observation of intermol. nuclear Overhauser effects from the pY and Ile side-chain protons of I to protons on amino acid residues present in the binding pocket of srcSH2. Solns. containing excess I relative to srcSH2 revealed a slow hydrolysis of I to produce Ac-YEEIE and inorg. phosphate. The hydrolysis rate determined from NMR and HPLC-electrospray ionization mass spectrometry data at 30 °C for solns. containing excess I was 0.002-0.003 h<sup>-1</sup>. The srcSH2 also catalyzed the hydrolysis of p-nitrophenyl phosphate (pNPP). Isoelec. focusing gels of a number of mutant srcSH2s demonstrated that this activity co-migrated with srcSH2. Km, kcat, and kcat/Km were 3.7 ± 0.4 mM, 3.1 ± 0.2 × 10<sup>-2</sup> min<sup>-1</sup>, and 8.4 ± 0.4 M<sup>-1</sup> min<sup>-1</sup>, resp., toward pNPP. The C188A mutant of the srcSH2 domain displayed 15% of the activity displayed by wild-type srcSH2, demonstrating that this residue is not absolutely required for activity. Two addnl. mutations in the known pY binding site, R178K and R158K, also resulted in decreased pNPPase activity, suggesting that the activity resides in or near this site. The inhibitor profile and pH dependence suggest that this is a novel protein Pase activity. Other than phosphate (competitive inhibitor, Ki = 50 μM), the activity was not inhibited by known inhibitors of Ser/Thr or Tyr protein kinases. Inhibitors of Ser/Thr Pases were also not inhibitory, but the pNPPase activity was inhibited by the protein tyrosine phosphatase inhibitor orthovanadate. The pY-containing peptides inhibited the pNPPase activity, but the potency did not parallel the apparent binding affinity to the SH2 site. The data are consistent with a catalytically active form of SH2 that is present in small amts. These data suggest that srcSH2 may play a catalytic role in signal transduction and regulation of pp60c-src activity.

=> log y

## WEST Search History

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DATE: Monday, February 06, 2006

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		<i>DB=USPT,USOC,EPAB,JPAB,DWPI; THES=ASSIGNEE; PLUR=YES; OP=ADJ</i>	
<input type="checkbox"/>	L5	bisubstrate and nmr	48
<input type="checkbox"/>	L4	kinase and nmr	5864
<input type="checkbox"/>	L3	inhibitor and nmr	31527
		<i>DB=PGPB; THES=ASSIGNEE; PLUR=YES; OP=ADJ</i>	
<input type="checkbox"/>	L2	(pas kinase or pas domain) same nmr	3
		<i>DB=USPT,USOC,EPAB,JPAB,DWPI; THES=ASSIGNEE; PLUR=YES; OP=ADJ</i>	
<input type="checkbox"/>	L1	(pas kinase or pas domain) same nmr	4

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☐ 1. Document ID: US 6916834 B2

Using default format because multiple data bases are involved.

L1: Entry 1 of 4

File: USPT

Jul 12, 2005

US-PAT-NO: 6916834

DOCUMENT-IDENTIFIER: US 6916834 B2

TITLE: Preparations and use of an Ah receptor ligand, 2-(1'H-indole-3'-carbonyl)-thiazole-4-carboxylic acid methyl ester

DATE-ISSUED: July 12, 2005

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
DeLuca; Hector F.	Deerfield	WI		
Song; Jiasheng	Madison	WI		
Clagett-Dame; Margaret	Deerfield	WI		
Peterson; Richard E.	Oregon	WI		
Westler; William M.	Madison	WI		
Sicinski; Rafal R.	Warsaw			PL

US-CL-CURRENT: [514/365](#); [548/201](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference			Claims	KWIC	Draw D.
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☐ 2. Document ID: US 6319679 B1

L1: Entry 2 of 4

File: USPT

Nov 20, 2001

US-PAT-NO: 6319679

DOCUMENT-IDENTIFIER: US 6319679 B1

TITLE: PAS kinase

DATE-ISSUED: November 20, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
McKnight; Steven L.	Dallas	TX		
Gardner; Kevin	Dallas	TX		
Harper; Shannon	Dallas	TX		

Rutter; Jared	Dallas	TX
Michnoff; Carolyn	Dallas	TX
Amezcuca; Carlos	Dallas	TX

US-CL-CURRENT: 435/15; 435/194, 530/300, 530/350, 536/23.2, 536/23.5

## ABSTRACT:

The invention provides methods and compositions relating to a novel kinase designated PAS Kinase (PASK). The compositions include isolated polypeptides comprising a native PASK protein or a PASK N-terminal domain and polypeptides consisting of a PASK PAS-A or PAS-B domain, as well as isolated polynucleotides encoding such polypeptides, and expression vectors and cells comprising such polynucleotides. The methods include binding assays comprising the steps of incubating a mixture comprising a subject polypeptide with a ligand under conditions wherein the polypeptide binds the ligand; and detecting binding of the polypeptide to the ligand.

13 Claims, 3 Drawing figures  
Exemplary Claim Number: 1  
Number of Drawing Sheets: 3

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 3. Document ID: US 20050074846 A1, WO 2005033662 A2

L1: Entry 3 of 4

File: DWPI

Apr 7, 2005

DERWENT-ACC-NO: 2005-272402

DERWENT-WEEK: 200528

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TITLE: Changing a functional surface binding specificity of a PAS domain comprises introducing into the hydrophobic core of the PAS domain a foreign ligand of the PAS domain

INVENTOR: AMEZCUA, C A; BRUICK, R K ; CARD, P B ; ERBEL, P J A ; GARDNER, K H ; HARPER, S ; MCKNIGHT, S L ; RUTTER, J ; AMEZCUA, C ; BRUICK, R ; CARD, P ; ERBEL, P ; GARDNER, K ; MCKNIGHT, S

PRIORITY-DATA: 2003US-0677734 (October 1, 2003)

## PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
<u>US 20050074846 A1</u>	April 7, 2005		018	C07H021/04
<u>WO 2005033662 A2</u>	April 14, 2005	E	000	G01N000/00

INT-CL (IPC): C07 H 21/04; C12 N 9/12; G01 N 0/00

ABSTRACTED-PUB-NO: US20050074846A

BASIC-ABSTRACT:

NOVELTY - Changing a functional surface binding specificity of a Per-ARNT-Sim (PAS)

domain comprises introducing into the hydrophobic core of the PAS domain a foreign ligand of the PAS domain.

DETAILED DESCRIPTION - Changing a functional surface binding specificity of a Per-ARNT-Sim (PAS) domain comprises:

(a) introducing into the hydrophobic core of the PAS domain a foreign ligand of the PAS domain; and

(b) detecting a resultant change in the functional surface binding specificity of the PAS domain, where the PAS domain is predetermined, prefolded in its native state, and comprises a hydrophobic core that has no NMR-apparent a priori formed ligand cavity.

USE - The method is useful for changing a functional surface binding specificity of a PAS domain (claimed).

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Drawings
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☐ 4. Document ID: US 20040121409 A1

L1: Entry 4 of 4

File: DWPI

Jun 24, 2004

DERWENT-ACC-NO: 2004-479678

DERWENT-WEEK: 200445

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TITLE: Detection of binding of Per-ARNT-Sim domain with foreign core ligand of domain, comprises comparing two nuclear magnetic resonance spectrum of domain in absence of ligand to infer presence of ligand bound within hydrophobic core

INVENTOR: AMEZCUA, C A; CARD, P B ; ERBEL, P J A ; GARDNER, K H

PRIORITY-DATA: 2003US-0677733 (October 1, 2003), 2001US-0770170 (January 26, 2001), 2001US-0059962 (November 19, 2001)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US <u>20040121409 A1</u>	June 24, 2004		018	G01N033/53

INT-CL (IPC): G01 N 33/53

ABSTRACTED-PUB-NO: US20040121409A

BASIC-ABSTRACT:

NOVELTY - Detection of binding of a Per-ARNT-Sim (PAS) domain with a foreign core ligand of the PAS domain, comprises detecting a first NMR spectrum of the PAS domain in the presence of a foreign ligand; and comparing the first NMR spectrum with a second NMR spectrum of the PAS domain in the absence of the ligand to infer the presence of ligand specifically bound within the hydrophobic core of the PAS domain.

DETAILED DESCRIPTION - Detection of binding of a Per-ARNT-Sim (PAS) domain with a foreign core ligand of the PAS domain, the PAS domain being predetermined, prefolded in its native state, and comprising a hydrophobic core that has no NMR-apparent a priori formed ligand cavity, comprises detecting a first NMR spectrum of



the PAS domain in the presence of a foreign ligand; and comparing the first NMR spectrum with a second NMR spectrum of the PAS domain in the absence of the ligand to infer the presence of ligand specifically bound within the hydrophobic core of the PAS domain.

USE - For detecting binding of a PAS domain, e.g. PAS kinase PAS A (claimed), with a foreign core ligand of the PAS domain.

ADVANTAGE - The introduction of foreign ligands into the hydrophobic core of PAS domain proteins can induce structural changes distal to the core and change the functional surface binding specificity of the PAS domain. This regulates the interaction of PAS domains with their biomolecular targets.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw D
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Terms	Documents
(pas kinase or pas domain) same nmr	4

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☐ 1. Document ID: US 20050074846 A1

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L2: Entry 1 of 3

File: PGPB

Apr 7, 2005

PGPUB-DOCUMENT-NUMBER: 20050074846

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20050074846 A1

TITLE: Foreign PAS ligands regulate PAS domain function

PUBLICATION-DATE: April 7, 2005

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Gardner, Kevin H.	Dallas	TX	US
Amezcuca, Carlos A.	Dallas	TX	US
Erbel, Paulus J.A.	Dallas	TX	US
Card, Paul B.	Dallas	TX	US
Harper, Shannon	Dallas	TX	US
Rutter, Jared	Salt Lake City	UT	US
Bruick, Richard K.	Dallas	TX	US
McKnight, Steven L.	Dallas	TX	US

US-CL-CURRENT: [435/69.1](#); [435/194](#), [435/320.1](#), [435/325](#), [536/23.2](#)

<a href="#">Full</a>	<a href="#">Title</a>	<a href="#">Citation</a>	<a href="#">Front</a>	<a href="#">Review</a>	<a href="#">Classification</a>	<a href="#">Date</a>	<a href="#">Reference</a>	<a href="#">Sequences</a>	<a href="#">Attachments</a>	<a href="#">Claims</a>	<a href="#">KWMC</a>	<a href="#">Drawings</a>
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☐ 2. Document ID: US 20040121409 A1

L2: Entry 2 of 3

File: PGPB

Jun 24, 2004

PGPUB-DOCUMENT-NUMBER: 20040121409

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20040121409 A1

TITLE: NMR detection of foreign PAS domain ligands

PUBLICATION-DATE: June 24, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
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Gardner, Kevin H.	Dallas	TX	US
Amezcuca, Carlos A.	Dallas	TX	US
Erbel, Paulus J.A.	Dallas	TX	US
Card, Paul B.	Dallas	TX	US

US-CL-CURRENT: 435/7.1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. De
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☐ 3. Document ID: US 20030059917 A1

L2: Entry 3 of 3

File: PGPB

Mar 27, 2003

PGPUB-DOCUMENT-NUMBER: 20030059917

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030059917 A1

TITLE: PAS kinase

PUBLICATION-DATE: March 27, 2003

## INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
McKnight, Steven L.	Dallas	TX	US
Gardner, Kevin	Dallas	TX	US
Harper, Shannon	Dallas	TX	US
Rutter, Jared	Dallas	TX	US
Michnoff, Carolyn	Dallas	TX	US
Amezcuca, Carlos	Dallas	TX	US

US-CL-CURRENT: 435/194; 435/320.1, 435/325, 435/69.1, 536/23.2

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. De
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Terms

Documents

(pas kinase or pas domain) same nmr

3

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Search Results - Record(s) 1 through 30 of 48 returned.

☐ 1. Document ID: US 6977246 B2

Using default format because multiple data bases are involved.

L5: Entry 1 of 48

File: USPT

Dec 20, 2005

US-PAT-NO: 6977246

DOCUMENT-IDENTIFIER: US 6977246 B2

TITLE: Certain dinucleotides and their use as modulators of mucociliary clearance and ciliary beat frequency

DATE-ISSUED: December 20, 2005

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Pendergast; William	Durham	NC		
Yerxa; Benjamin R.	Raleigh	NC		
Rideout; Janet L.	Raleigh	NC		
Siddiqi; Suhaib M.	Raleigh	NC		

US-CL-CURRENT: [514/47](#); [514/48](#), [514/51](#), [536/25.6](#), [536/26.1](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. De
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☐ 2. Document ID: US 6950757 B2

L5: Entry 2 of 48

File: USPT

Sep 27, 2005

US-PAT-NO: 6950757

DOCUMENT-IDENTIFIER: US 6950757 B2

TITLE: Screening methods for identifying ligands

DATE-ISSUED: September 27, 2005

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Stewart; Lansing J.	Bainbridge Island	WA		

US-CL-CURRENT: [702/27](#); [117/11](#), [435/6](#), [435/7.1](#)

ABSTRACT:

This invention relates to crystallization based assays for identifying ligands that bind to a macromolecule.

5 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw D
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☐ 3. Document ID: US 6943191 B1

L5: Entry 3 of 48

File: USPT

Sep 13, 2005

US-PAT-NO: 6943191

DOCUMENT-IDENTIFIER: US 6943191 B1

TITLE: Disubstituted lavendustin A analogs and pharmaceutical composition comprising the analogs

DATE-ISSUED: September 13, 2005

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Narayanan; Venkatachala L.	Gaithersburg	MD		
Sausville; Edward A.	Silver Spring	MD		
Kaur; Gurmeet	Germantown	MD		
Varma; Ravi K.	Rockville	MD		

US-CL-CURRENT: 514/535; 514/563, 560/46

ABSTRACT:

Disubstituted lavendustin A analogs that are PTK inhibitors having antiproliferative activity are described. Preferred compounds of the disclosure, without limitation, satisfy either Formula 1 or Formula 2. ##STR1##

The present disclosure also provides pharmaceutical compositions comprising effective amounts of disubstituted lavendustin A analogs and potentially comprising other active ingredients, other materials conventionally used in the formulation of pharmaceutical compositions, and mixtures thereof. The compounds and compositions of the disclosure can be used for treating subjects to, for example, inhibit the proliferation of living cells in the treatment of proliferative diseases.

22 Claims, 0 Drawing figures

Exemplary Claim Number: 1,11,20

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw D
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☐ 4. Document ID: US 6797460 B2

L5: Entry 4 of 48

File: USPT

Sep 28, 2004

US-PAT-NO: 6797460

DOCUMENT-IDENTIFIER: US 6797460 B2

TITLE: NMR-solve method for rapid identification of bi-ligand drug candidates

DATE-ISSUED: September 28, 2004

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Sem; Daniel S.	San Diego	CA		
Pellecchia; Maurizio	San Diego	CA		
Tempczyk-Russell; Anna	San Diego	CA		

US-CL-CURRENT: 435/4; 435/15, 435/16, 435/17, 435/25, 435/26

## ABSTRACT:

Methods for rapidly identifying drug candidates that can bind to an enzyme at both a common ligand site and a specificity ligand site, resulting in high affinity binding. The bi-ligand drug candidates are screened from a focused combinatorial library where the specific points of variation on a core structure are optimized. The optimal points of variation are identified by which atoms of a ligand bound to the common ligand site are identified to be proximal to the specificity ligand site. As a result, the atoms proximal to the specificity ligand site can then be used as a point for variation to generate a focused combinatorial library of high affinity drug candidates that can bind to both the common ligand site and the specificity ligand site. Different candidates in the library can then have high affinity for many related enzymes sharing a similar common ligand site.

160 Claims, 28 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 12

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. De
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☐ 5. Document ID: US 6710078 B2

L5: Entry 5 of 48

File: USPT

Mar 23, 2004

US-PAT-NO: 6710078

DOCUMENT-IDENTIFIER: US 6710078 B2

TITLE: 5-Substituted-3(2H)-furanones useful for inhibition of farnesyl-protein transferase

DATE-ISSUED: March 23, 2004

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ayral-Kaloustian; Semiramis	Tarrytown	NY		

Hollander; Irwin                      Monsey                      NY  
Aulabaugh; Ann                      Ramsey                      NJ

US-CL-CURRENT: 514/474; 514/255.05, 514/444, 514/471, 514/473, 549/475, 549/60

ABSTRACT:

Compounds of Formula (I): ##STR1##

wherein R.sub.1, R.sub.2, R.sub.3, X, Y, Z and Q are as defined in the specification which compounds are inhibitors of Ras farnesyl-protein transferase enzyme (FPTase), and useful in treating ras oncogene-dependent tumors, such as cancers of the pancreas, colon, bladder, and thyroid and processes for the preparation of said compounds of Formula (I).

38 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw De
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☐ 6. Document ID: US 6706764 B2

L5: Entry 6 of 48

File: USPT

Mar 16, 2004

US-PAT-NO: 6706764

DOCUMENT-IDENTIFIER: US 6706764 B2

TITLE: Use of creatine or creatine analogs for the treatment of diseases of the nervous system

DATE-ISSUED: March 16, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Kaddurah-Daouk; Rima	Belmont	MA		
Daouk; Ghaleb	Belmont	MA		
Beal; M. Flint	Boston	MA		

US-CL-CURRENT: 514/565; 514/275, 514/385, 514/386, 514/396, 514/501, 514/553,  
514/563, 514/564, 514/575, 514/631, 514/636, 514/646

ABSTRACT:

The present invention relates to the use of creatine compounds including creatine, creatine phosphate or analogs of creatine, such as cyclocreatine, for treating diseases of the nervous system. Creatine compounds can be used as therapeutically effective agents against a variety of diseases of the nervous system such as diabetic and toxic neuropathies, peripheral nervous system diseases, Alzheimer disease, Parkinson's disease, stroke, Huntington's disease, amyotrophic lateral sclerosis, motor neuron disease, traumatic nerve injury, multiple sclerosis, dysmyelination and demyelination disorders, and mitochondrial diseases. The Creatine compounds which can be used in the present method include (1) creatine, creatine phosphate and analogs of these compounds which can act as substrates or

substrate analogs for creatine kinase; (2) bisubstrate inhibitors of creatine kinase comprising covalently linked structural analogs of adenosine triphosphate (ATP) and creatine; (3) creatine analogs which can act as reversible or irreversible inhibitors of creatine kinase; and (4) N-phosphorocreatine analogs bearing non-transferable moieties which mimic the N-phosphoryl group.

16 Claims, 7 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 7

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. D
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☐ 7. Document ID: US 6689595 B1

L5: Entry 7 of 48

File: USPT

Feb 10, 2004

US-PAT-NO: 6689595

DOCUMENT-IDENTIFIER: US 6689595 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: Crystallization and structure determination of Staphylococcus aureus thymidylate kinase

DATE-ISSUED: February 10, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Benson; Timothy E.	Kalamazoo	MI		

US-CL-CURRENT: 435/183; 117/11, 435/15, 530/350, 530/355, 530/820, 530/825, 702/19, 702/27

ABSTRACT:

An unliganded form of Staphylococcus aureus thymidylate kinase (S. aureus TMK) has been crystallized, and the three dimensional x-ray crystal structure has been solved to 2.3 .ANG. resolution. The x-ray crystal structure is useful for solving the structure of other molecules or molecular complexes, and designing inhibitors of S. aureus TMK activity.

6 Claims, 224 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 219

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. D
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☐ 8. Document ID: US 6673927 B2

L5: Entry 8 of 48

File: USPT

Jan 6, 2004

US-PAT-NO: 6673927



DOCUMENT-IDENTIFIER: US 6673927 B2

TITLE: Farnesyl transferase inhibitors

DATE-ISSUED: January 6, 2004

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Gordon; Thomas D.	Medway	MA		
Morgan; Barry A.	Franklin	MA		

US-CL-CURRENT: 544/350; 544/346, 548/203, 548/204, 548/205, 548/235, 548/236,  
548/335.5, 548/338.1

## ABSTRACT:

The present invention is directed to compounds of the ##STR1##

wherein the variables are as defined in the specification. The compounds are useful for inhibiting farnesyl transferase and for the treatment of tumors and restenosis.

4 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 9. Document ID: US 6620589 B1

L5: Entry 9 of 48

File: USPT

Sep 16, 2003

US-PAT-NO: 6620589

DOCUMENT-IDENTIFIER: US 6620589 B1

**\*\* See image for Certificate of Correction \*\***TITLE: NMR-solve method for rapid identification of Bi-ligand drug candidates

DATE-ISSUED: September 16, 2003

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Sem; Daniel S.	San Diego	CA		
Pellecchia; Maurizio	San Diego	CA		
Tempczyk-Russell; Anna	San Diego	CA		

US-CL-CURRENT: 435/7.1; 435/15, 435/16, 435/25, 435/26

## ABSTRACT:

Methods for rapidly identifying drug candidates that can bind to an enzyme at both a common ligand site and a specificity ligand site, resulting in high affinity binding. The bi-ligand drug candidates are screened from a focused combinatorial library where the specific points of variation on a core structure are optimized.

The optimal points of variation are identified by which atoms of a ligand bound to the common ligand site are identified to be proximal to the specificity ligand site. As a result the atoms proximal to the specificity ligand site can then be used as a point for variation to generate a focused combinatorial library of high affinity drug candidates that can bind to both the common ligand site and the specificity ligand site. Different candidates in the library can then have high affinity for many related enzymes sharing a similar common ligand site.

62 Claims, 28 Drawing figures  
Exemplary Claim Number: 1  
Number of Drawing Sheets: 12

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMIC	Draw. D.
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☐ 10. Document ID: US 6541276 B2

L5: Entry 10 of 48

File: USPT

Apr 1, 2003

US-PAT-NO: 6541276  
DOCUMENT-IDENTIFIER: US 6541276 B2

TITLE: Methods for solid-phase synthesis of hydroxylamine compounds and derivatives and combinatorial libraries thereof

DATE-ISSUED: April 1, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Patel; Dinesh V.	Fremont	CA		
Ngu; Khehyong	Palo Alto	CA		

US-CL-CURRENT: 436/518; 435/DIG.22, 435/DIG.34, 435/DIG.49, 530/335

ABSTRACT:

A novel method for generating hydroxylamine, hydroxamic acid, hydroxyurea, and hydroxylsulfonamide compounds is disclosed. The method involves the nucleophilic attack of an alkoxyamine on a suitable solid phase support. Techniques of combinatorial chemistry can then be applied to the immobilized alkoxyamine to generate a diverse set of compounds. Cleavage of the compounds from the support yields a library of hydroxylamine or hydroxylamine derivative compounds, which can be screened for biological activity (e.g., inhibition of metalloproteases).

7 Claims, 10 Drawing figures  
Exemplary Claim Number: 1  
Number of Drawing Sheets: 10

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMIC	Draw. D.
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☐ 11. Document ID: US 6503914 B1

L5: Entry 11 of 48

File: USPT

Jan 7, 2003

US-PAT-NO: 6503914

DOCUMENT-IDENTIFIER: US 6503914 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: Thienopyrimidine-based inhibitors of the Src family

DATE-ISSUED: January 7, 2003

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Benish; Michele A.	Pearland	TX		
Lawless; Michael	St. Charles	MO		
Budde; Raymond J. A.	Bellaire	TX		

US-CL-CURRENT: 514/260.1; 544/278

## ABSTRACT:

Various thienopyrimidine-based analog compounds that selectively inhibit the Src family of tyrosine kinases. These compounds are thienopyrimidines and contain a hydrozone bridge created by heating a thienopyrimidine hydrazine with an aldehyde in ethanol at reflux. Such compounds are useful in the treatment of various diseases including hyperproliferative diseases, hematologic diseases, osteoporosis, neurological diseases, autoimmune diseases, allergic/immunological diseases, or viral infections.

99 Claims, 6 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 6

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Drawing
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☐ 12. Document ID: US 6485941 B1

L5: Entry 12 of 48

File: USPT

Nov 26, 2002

US-PAT-NO: 6485941

DOCUMENT-IDENTIFIER: US 6485941 B1

TITLE: Inhibition of the carboxyltransferase component of acetyl-CoA carboxylase, and the use of such inhibition in anti-cancer and anti-lipogenic therapies

DATE-ISSUED: November 26, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Waldrop; Grover L.	Baton Rouge	LA		
Stephens; Jacqueline M.	Baton Rouge	LA		
Levert; Keith L.	Baton Rouge	LA		

US-CL-CURRENT: [435/69.2](#); [424/94.5](#), [435/15](#), [435/183](#), [435/232](#), [435/4](#), [548/113](#),  
[548/303.7](#)

## ABSTRACT:

A method is disclosed for inhibiting carboxyltransferase with bisubstrate analogs. One such analog has been shown to inhibit the carboxyltransferase component of E. coli acetyl-CoA carboxylase. It is also expected to inhibit mammalian acetyl-CoA carboxylase, and thereby to act as an antiobesity agent and an anti-cancer agent.

10 Claims, 2 Drawing figures  
Exemplary Claim Number: 1  
Number of Drawing Sheets: 2

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw D
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☐ 13. Document ID: US 6465434 B1

L5: Entry 13 of 48

File: USPT

Oct 15, 2002

US-PAT-NO: 6465434

DOCUMENT-IDENTIFIER: US 6465434 B1

TITLE: Methods and compositions for the inhibition of cancer metastasis mediated by endothelial adhesion molecules

DATE-ISSUED: October 15, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Magnani; John L.	Frederick	MD	21702	
Butcher; Eugene C.	Portola Valley	CA		
Berg; Ellen L.	Palo Alto	CA		

US-CL-CURRENT: [514/23](#); [514/53](#), [514/54](#), [514/61](#)

## ABSTRACT:

Methods and compositions are disclosed for the inhibition of cancer metastases mediated by endothelial adhesion molecules. The present invention discloses that sialyl Le.sup.a and di-sialyl Le.sup.a, which are expressed at the surface of cancer cells, function as a binding partner for LEC-CAMs, such as ELAM-1, which are expressed at the surface of endothelial cells. The present invention also discloses that LEC-CAMs, such as ELAM-1, involved in cancer metastasis share a carbohydrate domain common to both sialyl Le.sup.a and sialyl Le.sup.x. Antibodies, saccharides, glycoconjugates, enzyme inhibitors and other compounds may be used in the methods of the present invention to inhibit the binding of malignant cells to endothelial cells for a variety of purposes in vivo and in vitro.

4 Claims, 3 Drawing figures  
Exemplary Claim Number: 1  
Number of Drawing Sheets: 3

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. D
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☐ 14. Document ID: US 6391857 B1

L5: Entry 14 of 48

File: USPT

May 21, 2002

US-PAT-NO: 6391857

DOCUMENT-IDENTIFIER: US 6391857 B1

TITLE: Methods and compositions for endothelial binding

DATE-ISSUED: May 21, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Magnani; John L.	Rockville	MD	20853	
Butcher; Eugene C.	Portolla Valley	CA		
Berg; Ellen L.	Fremont	CA		

US-CL-CURRENT: 514/25; 424/184.1, 514/53, 514/54, 514/61, 514/62, 514/8, 530/395, 530/807, 536/1.11, 536/4.1, 536/55, 536/55.1, 536/55.2

## ABSTRACT:

Novel methods and compositions are provided for modulating homing of leukocytes, particularly lymphocytes, where the compounds are cross-reactive with Neu5Ac2-3Gal.beta.1-X[Fuc.alpha.1-y]GlcNAc, where one of x and y is three and the other is four. These compounds may be administered to a host associated with inflammation, to avoid the deleterious effects of leukocyte infiltration and for directing molecules to such sites.

5 Claims, 1 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. D
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☐ 15. Document ID: US 6387884 B1

L5: Entry 15 of 48

File: USPT

May 14, 2002

US-PAT-NO: 6387884

DOCUMENT-IDENTIFIER: US 6387884 B1

TITLE: Leukocyte homing modulation

DATE-ISSUED: May 14, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
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Magnani; John L.	Gaithersburg	MD	20879
Butcher; Eugene C.	Portola Valley	CA	
Berg; Ellen L.	Fremont	CA	

US-CL-CURRENT: [514/25](#); [514/23](#), [514/53](#), [514/54](#), [514/61](#), [514/62](#), [514/8](#), [530/395](#),  
[536/1.11](#), [536/17.2](#), [536/18.7](#), [536/4.1](#)

## ABSTRACT:

Novel methods and compositions are provided for modulating homing of leukocytes, particularly lymphocytes, where the compounds are cross-reactive with Neu5Ac2-3Gal.beta.1-X[Fuc.alpha.1-y]GlcNAc, where one of x and y is three and the other is four. These compounds may be administered to a host associated with inflammation, to avoid the deleterious effects of leukocyte infiltration.

3 Claims, 1 Drawing figures  
Exemplary Claim Number: 1  
Number of Drawing Sheets: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Supplemental	Continuation	Claims	KMC	Draw D
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☐ 16. Document ID: US 6369030 B1

L5: Entry 16 of 48

File: USPT

Apr 9, 2002

US-PAT-NO: 6369030

DOCUMENT-IDENTIFIER: US 6369030 B1

TITLE: Inhibitors of histone acetyltransferases (HATs) and uses thereof

DATE-ISSUED: April 9, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Cole; Philip A.	Baltimore	MD		
Soccio; Raymond E.	New York	NY		
Lau; Ontario D.	Brooklyn	NY		
Khalil; Ehab M.	Bronx	NY		
Kundu; Tapas K.	Karnataka			IN
Roeder; Robert G.	New York	NY		

US-CL-CURRENT: [514/12](#); [514/15](#), [514/16](#), [514/17](#), [530/324](#), [530/326](#), [530/328](#), [530/329](#),  
[530/330](#), [530/345](#)

## ABSTRACT:

Histone acetyltransferase inhibitors, especially those that are differentiate between p300 and PCAF histone acetyltransferase; also therapeutic processes comprising their administration to humans.

2 Claims, 15 Drawing figures

Exemplary Claim Number: 1  
Number of Drawing Sheets: 9

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMIC	Draw D
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☐ 17. Document ID: US 6348589 B1

L5: Entry 17 of 48

File: USPT

Feb 19, 2002

US-PAT-NO: 6348589

DOCUMENT-IDENTIFIER: US 6348589 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: Certain dinucleotides and their use as modulators of mucociliary clearance and ciliary beat frequency

DATE-ISSUED: February 19, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Pendergast; William	Durham	NC		
Yerxa; Benjamin R.	Raleigh	NC		
Rideout; Janet L.	Raleigh	NC		
Siddiqi; Suhaib M.	Raleigh	NC		

US-CL-CURRENT: 536/25.6; 536/26.1

ABSTRACT:

The present invention relates to certain novel dinucleotides and formulations thereof which are highly selective agonists of the P2Y.sub.2 and/or P2Y.sub.4 purinergic receptor. They are useful in the treatment of chronic obstructive pulmonary diseases such as chronic bronchitis, PCD, cystic fibrosis, as well as prevention of pneumonia due to immobility. Furthermore, because of their general ability to clear retained mucus secretions and stimulate ciliary beat frequency, the compounds of the present invention are also useful in the treatment of sinusitis, otitis media and nasolacrimal duct obstruction. They are also useful for treatment of dry eye disease and retinal detachment.

9 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMIC	Draw D
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☐ 18. Document ID: US 6333149 B1

L5: Entry 18 of 48

File: USPT

Dec 25, 2001

US-PAT-NO: 6333149

DOCUMENT-IDENTIFIER: US 6333149 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: NMR-solve method for rapid identification of bi-ligand drug candidates

DATE-ISSUED: December 25, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Sem; Daniel S.	San Diego	CA		

US-CL-CURRENT: 435/4; 435/15, 435/16, 435/25, 435/26

ABSTRACT:

Methods for rapidly identifying drug candidates that bind to an enzyme at both a common ligand site and a specificity ligand site, resulting in high affinity binding. The bi-ligand drug candidates are screened from a focused combinatorial library where the specific points of variation on a core structure are optimized. The optimal points of variation are identified by which atoms of a ligand bound to the common ligand site are identified to be proximal to the specificity ligand site. As a result, the atoms proximal to the specificity ligand site can then be used as a point for variation to generate a focused combinatorial library of high affinity drug candidates that bind to both the common ligand site and the specificity ligand site. Different candidates in the library can then have high affinity for many related enzymes sharing a similar common ligand site.

33 Claims, 17 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 6

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMOC	Draw. De
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☐ 19. Document ID: US 6329506 B1

L5: Entry 19 of 48

File: USPT

Dec 11, 2001

US-PAT-NO: 6329506

DOCUMENT-IDENTIFIER: US 6329506 B1

TITLE: Template-assisted triple helical collagen-like structures

DATE-ISSUED: December 11, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Goodman; Murray	La Jolla	CA		
Taulane; Joseph P.	San Diego	CA		
Feng; Yangbo	La Jolla	CA		
Melacini; Giuseppe	La Jolla	CA		

US-CL-CURRENT: 530/356; 530/330, 530/402



## ABSTRACT:

Synthetic collagen in triple helical conformation and comprising amino acid chains of repeating trimers of highly populated collagen sequences as well as those sequences wherein the proline or hydroxyproline residue is replaced with a peptoid residue. The invention includes methods of preparing synthetic collagen structures having the triple helix conformation present in collagen from collagen-type polypeptides and poly(peptide-peptoid residue) chains by means of a helix-inducing template.

21 Claims, 5 Drawing figures  
Exemplary Claim Number: 1  
Number of Drawing Sheets: 4

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KVMC	Draw D
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☐ 20. Document ID: US 6313109 B1

L5: Entry 20 of 48

File: USPT

Nov 6, 2001

US-PAT-NO: 6313109

DOCUMENT-IDENTIFIER: US 6313109 B1

TITLE: Prenyl transferase inhibitors

DATE-ISSUED: November 6, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Kim; Sun H.	Needham	MA		

US-CL-CURRENT: 514/183; 540/450, 540/451, 540/484, 540/485, 540/544

## ABSTRACT:

A family of compounds capable of inhibiting the activity of prenyl transferases. The compounds are covered by the four following formulas ##STR1##

Each of the R groups is defined in the disclosure.

12 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KVMC	Draw D
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☐ 21. Document ID: US 6281245 B1

L5: Entry 21 of 48

File: USPT

Aug 28, 2001

US-PAT-NO: 6281245

DOCUMENT-IDENTIFIER: US 6281245 B1

TITLE: Methods for solid-phase synthesis of hydroxylamine compounds and derivatives, and combinatorial libraries thereof

DATE-ISSUED: August 28, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Patel; Dinesh V.	Fremont	CA		
Ngu; Khehyong	Lawrenceville	NJ		

US-CL-CURRENT: 514/575

ABSTRACT:

A novel method for generating hydroxylamine, hydroxamic acid, hydroxyurea, and hydroxylsulfonamide compounds is disclosed. The method involves the nucleophilic attack of an alkoxyamine on a suitable solid phase support. Techniques of combinatorial chemistry can then be applied to the immobilized alkoxyamine to generate a diverse set of compounds. Cleavage of the compounds from the support yields a library of hydroxylamine or hydroxylamine derivative compounds, which can be screened for biological activity (e.g., inhibition of metalloproteinases).

27 Claims, 34 Drawing figures  
Exemplary Claim Number: 1  
Number of Drawing Sheets: 34

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMCC	Draw. D
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☐ 22. Document ID: US 6232450 B1

L5: Entry 22 of 48

File: USPT

May 15, 2001

US-PAT-NO: 6232450

DOCUMENT-IDENTIFIER: US 6232450 B1

TITLE: Inhibition of human fucosyltransferases with N-linked Lewis-x and LacNAc analogs

DATE-ISSUED: May 15, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Chi-Huey	Rancho Sante Fe	CA		

US-CL-CURRENT: 536/17.2; 536/123.13, 536/17.3, 536/17.4, 546/207, 546/282.1, 546/283.1, 546/329

ABSTRACT:

A new class of N-linked Lewis and LacNAc analogs of are synthesized and shown to be effective inhibitors of human fucosyltransferases. In a high yielding reaction sequence the glucosamine derivative 1 was transformed to the 3-azido-2,3-dideoxy

sugar 2e under excellent stereocontrol. The LacNAc analog 4d was synthesized as a single isomer in three steps starting from 2e. In a one pot procedure iminocyclitol 5 was transformed into aldehyde 6 and successfully used for reductive amination with 4c and 2f yielding trisaccharide 8a, and disaccharide 7a.

5 Claims, 5 Drawing figures  
Exemplary Claim Number: 1  
Number of Drawing Sheets: 3

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. D
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☐ 23. Document ID: US 6229016 B1

L5: Entry 23 of 48

File: USPT

May 8, 2001

US-PAT-NO: 6229016  
DOCUMENT-IDENTIFIER: US 6229016 B1

TITLE: Method for treating tumors using 2-aryl-naphthyridin-4-ones

DATE-ISSUED: May 8, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lee; Kuo-Hsiung	Chapel Hill	NC		
Chen; Ke	Chapel Hill	NC		
Kuo; Sheng-Chu	Tai Chung			TW

US-CL-CURRENT: 546/121; 544/180, 544/282, 544/333

ABSTRACT:

The present invention provides compounds of Formula I: ##STR1##

wherein A and R.sub.1 -R.sub.8 are defined herein. The compounds of Formula I inhibit the polymerization of tubulin and possess antimitotic activity. The compounds of Formula I may be useful for the treatment of psoriasis, gout, papiloma, warts, and a variety of tumors.

11 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. D
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☐ 24. Document ID: US 6211191 B1

L5: Entry 24 of 48

File: USPT

Apr 3, 2001

US-PAT-NO: 6211191  
DOCUMENT-IDENTIFIER: US 6211191 B1

TITLE: Integrin receptor antagonists

DATE-ISSUED: April 3, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Duggan; Mark E.	Schwenksville	PA		
Perkins; James J.	Churchville	PA		
Meissner; Robert S.	Schwenksville	PA		

US-CL-CURRENT: 514/274; 544/296, 544/316, 562/13

## ABSTRACT:

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5, and/or .alpha..nu..beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound healing, viral disease, tumor growth, and metastasis.

23 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	RWMC	Draw. De
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☐ 25. Document ID: US 6169115 B1

L5: Entry 25 of 48

File: USPT

Jan 2, 2001

US-PAT-NO: 6169115

DOCUMENT-IDENTIFIER: US 6169115 B1

TITLE: Use of aminoguanidine analogs for the treatment of diseases of the nervous system

DATE-ISSUED: January 2, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Kaddurah-Daouk; Rima	Belmont	MA	02178	

US-CL-CURRENT: 514/565

## ABSTRACT:

The present invention relates to the use of aminoguanidine compounds for treating diseases of the nervous system. Aminoguanidine compounds can be used as therapeutically effective agents against a variety of diseases of the nervous system such as diabetic and toxic neuropathies, peripheral nervous system diseases,

Alzheimer's disease, Parkinson's disease, stroke, Huntington's disease, amyotrophic lateral sclerosis, motor neuron disease, traumatic nerve injury, multiple sclerosis, dysmyelination and demyelination disorders, and mitochondrial diseases. The aminoguanidine compounds which can be used in the present method include (1) aminoguanidine and diaminoguanidine analogs which can act as substrates or substrate analogs for creatine kinase; (2) bisubstrate inhibitors of creatine kinase comprising covalently linked structural analogs of adenosine triphosphate (ATP) and aminoguanidine; (3) aminoguanidine analogs which can act as reversible or irreversible inhibitors of creatine kinase; and (4) N-phosphoroaminoguanidine analogs bearing nontransferable moieties which mimic the N-phosphoryl group.

13 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw D
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☐ 26. Document ID: US 6127390 A

L5: Entry 26 of 48

File: USPT

Oct 3, 2000

US-PAT-NO: 6127390  
DOCUMENT-IDENTIFIER: US 6127390 A

TITLE: Inhibitors of prenyl-protein transferase

DATE-ISSUED: October 3, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
deSolms; S. Jane	Norristown	PA		
Lumma, Jr.; William C.	Pennsburg	PA		
Shaw; Anthony W.	Lansdale	PA		
Sisko; John T.	Lansdale	PA		
Tucker; Thomas J.	North Wales	PA		

US-CL-CURRENT: 514/341; 546/274.1, 546/274.4, 546/275.1

ABSTRACT:

The present invention is directed to compounds which inhibit prenyl-protein transferase (FTase) and the prenylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting prenyl-protein transferase and the prenylation of the oncogene protein Ras.

18 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw D
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☐ 27. Document ID: US 6121233 A

L5: Entry 27 of 48

File: USPT

Sep 19, 2000

US-PAT-NO: 6121233

DOCUMENT-IDENTIFIER: US 6121233 A

TITLE: Methods for the inhibition of cancer metastasis mediated by endothelial adhesion molecules

DATE-ISSUED: September 19, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Magnani; John L.	Rockville	MD	20853	
Butcher; Eugene C.	Portola Valley	CA		
Berg; Ellen L.	Fremont	CA		

US-CL-CURRENT: 514/8; 514/25, 514/53, 514/54, 514/61, 514/62

## ABSTRACT:

Methods and compositions are disclosed for the inhibition of cancer metastases mediated by endothelial adhesion molecules. The present invention discloses that sialyl Le.sup.a and di-sialyl Le.sup.a, which are expressed at the surface of cancer cells, function as a binding partner for LEC-CAMs, such as ELAM-1, which are expressed at the surface of endothelial cells. The present invention also discloses that LEC-CAMs, such as ELAM-1, involved in cancer metastasis share a carbohydrate domain common to both sialyl Le.sup.a and sialyl Le.sup.x. Antibodies, saccharides, glycoconjugates, enzyme inhibitors and other compounds may be used in the methods of the present invention to inhibit the binding of malignant cells to endothelial cells for a variety of purposes in vivo and in vitro.

4 Claims, 3 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 3

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. De
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☐ 28. Document ID: US 6100254 A

L5: Entry 28 of 48

File: USPT

Aug 8, 2000

US-PAT-NO: 6100254

DOCUMENT-IDENTIFIER: US 6100254 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Inhibitors of protein tyrosine kinases

DATE-ISSUED: August 8, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Budde; Raymond J. A.	Bellaire	TX		
Ellman; Jonathan A.	Oakland	CA		
Levin; Victor A.	Houston	TX		
Gallick; Gary E.	Kingwood	TX		
Newman; Robert A.	Sugar Land	TX		

US-CL-CURRENT: 514/221; 540/504, 540/506, 540/507, 540/508, 540/509, 540/510,  
540/511, 540/512, 540/513, 540/514

## ABSTRACT:

Disclosed herein are small molecule, non-peptidyl inhibitors of protein tyrosine kinases, and methods for their use. The instant inhibitors are based on a 1,4-benzodiazepin-2-one nucleus. Methods are provided for inhibition of specific protein tyrosine kinases, for example pp60.sup.c-src. Methods are further provided for the use of these inhibitors in situations where the inhibition of a protein tyrosine kinase is indicated, for example, in the treatment of certain diseases in mammals, including humans.

31 Claims, 7 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 7

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw. De
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☐ 29. Document ID: US 6096710 A

L5: Entry 29 of 48

File: USPT

Aug 1, 2000

US-PAT-NO: 6096710

DOCUMENT-IDENTIFIER: US 6096710 A

TITLE: Collagen-like peptoid residue-containing structures

DATE-ISSUED: August 1, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Goodman; Murray	La Jolla	CA		
Taulane; Joseph P.	San Diego	CA		
Feng; Yangbo	La Jolla	CA		
Melacini; Giuseppe	La Jolla	CA		

US-CL-CURRENT: 514/17; 514/18, 530/330

## ABSTRACT:

Synthetic collagen in triple helical conformation and comprising amino acid chains of repeating trimers of highly populated collagen sequences as well as those sequences wherein the proline or hydroxyproline residue is replaced with a peptoid

residue. The invention includes methods of preparing synthetic collagen structures having the triple helix conformation present in collagen from collagen-type polypeptides and

poly(peptide-peptoid residue) chains by means of a helix-inducing template.

10 Claims, 4 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 4

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw. De
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☐ 30. Document ID: US 6093737 A

L5: Entry 30 of 48

File: USPT

Jul 25, 2000

US-PAT-NO: 6093737

DOCUMENT-IDENTIFIER: US 6093737 A

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: July 25, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		
Gomez; Robert P.	Perkasie	PA		
Tran; Lekhanh O.	Norristown	PA		
Young; Steven D.	Lansdale	PA		

US-CL-CURRENT: 514/341; 514/252.03, 514/255.05, 514/256, 514/333, 544/333, 544/405, 546/256, 546/272.7, 546/274.1, 546/274.4, 546/274.7, 546/275.1

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

20 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw. De
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☐ 31. Document ID: US 6080870 A**Using default format because multiple data bases are involved.**

L5: Entry 31 of 48

File: USPT

Jun 27, 2000

US-PAT-NO: 6080870

DOCUMENT-IDENTIFIER: US 6080870 A

TITLE: Biaryl substituted imidazole compounds useful as farnesyl-protein transferase inhibitors

DATE-ISSUED: June 27, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		
Gomez; Robert P.	Perkasie	PA		
Stokker; Gerald E.	Gwynedd Valley	PA		
Wai; John S.	Harleysville	PA		
Williams; Theresa M.	Harleysville	PA		
Halczenko; Wasyl	Lansdale	PA		
Hutchinson; John H.	Philadelphia	PA		
Young; Steven D.	Lansdale	PA		
Solinsky; Kelly M.	Cincinnati	OH		

US-CL-CURRENT: 548/324.1; 548/336.1, 548/343.1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. Data
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☐ 32. Document ID: US 6077853 A

L5: Entry 32 of 48

File: USPT

Jun 20, 2000

US-PAT-NO: 6077853

DOCUMENT-IDENTIFIER: US 6077853 A

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: June 20, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
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Graham; Samuel L.                      Schwenksville                      PA  
Young; Steven D.                      Lansdale                      PA

US-CL-CURRENT: 514/326; 514/397, 546/210, 548/314.7

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

19 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. De
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☐ 33. Document ID: US 6071930 A

L5: Entry 33 of 48

File: USPT

Jun 6, 2000

US-PAT-NO: 6071930  
DOCUMENT-IDENTIFIER: US 6071930 A

TITLE: Method for treating tumors using 2-aryl-naphthyridin-4-ones

DATE-ISSUED: June 6, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lee; Kuo-Hsiung	Chapel Hill	NC		
Chen; Ke	Chapel Hill	NC		
Kuo; Sheng-Chu	Tai Chung			TW

US-CL-CURRENT: 514/300; 544/180, 544/242, 546/122, 546/123

ABSTRACT:

The present invention provides compounds of Formula I: ##STR1##

wherein A and R.sub.1 -R.sub.8 are defined herein. The compounds of Formula I inhibit the polymerization of tubulin and possess antimitotic activity. The compounds of Formula I may be useful for the treatment of psoriasis, gout, papiloma, warts, and a variety of tumors.

26 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. De
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☐ 34. Document ID: US 6051574 A

L5: Entry 34 of 48

File: USPT

Apr 18, 2000

US-PAT-NO: 6051574

DOCUMENT-IDENTIFIER: US 6051574 A

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: April 18, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		

US-CL-CURRENT: 514/247; 544/242, 544/322, 544/331

## ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

28 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. De
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☐ 35. Document ID: US 5994367 A

L5: Entry 35 of 48

File: USPT

Nov 30, 1999

US-PAT-NO: 5994367

DOCUMENT-IDENTIFIER: US 5994367 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Method for treating tumors using 2-aryl-naphthyridin-4-ones

DATE-ISSUED: November 30, 1999

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lee; Kuo-Hsiung	Chapel Hill	NC		
Chen; Ke	Chapel Hill	NC		
Kuo; Sheng-Chu	Tai Chung			TW

US-CL-CURRENT: 514/300; 435/7.2, 546/122

## ABSTRACT:

The present invention provides compounds of Formula I: ##STR1## wherein A and R.sub.1 -R.sub.8 are defined herein. The compounds of Formula I inhibit the polymerization of tubulin and possess antimitotic activity. The compounds of Formula I may be useful for the treatment of psoriasis, gout, papiloma, warts, and a variety of tumors.

28 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMIC	Draw. De
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☐ 36. Document ID: US 5990094 A

L5: Entry 36 of 48

File: USPT

Nov 23, 1999

US-PAT-NO: 5990094  
DOCUMENT-IDENTIFIER: US 5990094 A

TITLE: Inhibitors of serotonin N-acetyltransferase

DATE-ISSUED: November 23, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Cole; Philip A.	New York	NY		
Khalil; Ehab	Bronx	NY		

US-CL-CURRENT: 514/47; 536/26.23

ABSTRACT:

This invention is directed to a compound having the formula I. ##STR1## This invention is directed to a pharmaceutical composition comprising a compound which inhibits serotonin N-acetyltransferase having the formula I and a pharmaceutical acceptable carrier. The present invention relates to novel compounds and analogs which inhibit the serotonin N-acetyltransferase enzyme, and to processes for their preparation.

6 Claims, 29 Drawing figures  
Exemplary Claim Number: 1,5,6  
Number of Drawing Sheets: 22

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMIC	Draw. De
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☐ 37. Document ID: US 5939557 A

L5: Entry 37 of 48

File: USPT

Aug 17, 1999

US-PAT-NO: 5939557  
DOCUMENT-IDENTIFIER: US 5939557 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: August 17, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		
Gomez; Robert P.	Perkasie	PA		
Solinsky; Kelly M.	West Chester	OH		

US-CL-CURRENT: 548/314.7; 546/1, 546/139, 546/201, 548/146, 548/257, 548/312.4,  
548/315.1, 548/315.4

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

28 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw D
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☐ 38. Document ID: US 5939439 A

L5: Entry 38 of 48

File: USPT

Aug 17, 1999

US-PAT-NO: 5939439

DOCUMENT-IDENTIFIER: US 5939439 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: August 17, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		
Graham; Samuel L.	Schwenksville	PA		
Tran; Lekhanh O.	Norristown	PA		
Bell; Ian M.	Harleysville	PA		
deSolms; S. Jane	Norristown	PA		
Gomez; Robert P.	Perkasie	PA		
Kuo; Michelle Sparks	Gwynedd Valley	PA		
Lumma, Jr.; William C.	Pennsburg	PA		

Perlow; Debra S.	East Greenville	PA
Shaw; Anthony W.	Lansdale	PA
Wai; John S.	Harleysville	PA
Young; Steven D.	Lansdale	PA

US-CL-CURRENT: [514/333](#); [514/255.05](#), [544/405](#), [546/256](#)

## ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

21 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KVMC	Draw. De
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☐ 39. Document ID: US 5883105 A

L5: Entry 39 of 48

File: USPT

Mar 16, 1999

US-PAT-NO: 5883105

DOCUMENT-IDENTIFIER: US 5883105 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: March 16, 1999

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		

US-CL-CURRENT: [514/277](#); [514/311](#), [514/336](#), [546/280.4](#), [546/280.7](#), [546/281.4](#)

## ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

28 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KVMC	Draw. De
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☐ 40. Document ID: US 5880140 A

L5: Entry 40 of 48

File: USPT

Mar 9, 1999

US-PAT-NO: 5880140

DOCUMENT-IDENTIFIER: US 5880140 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Biheteroaryl inhibitors of farnesyl-protein transferase

DATE-ISSUED: March 9, 1999

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		

US-CL-CURRENT: 514/333; 514/256, 514/269, 514/334, 514/335, 544/298, 544/331,  
544/333, 544/335, 546/256, 546/257, 546/261, 546/262

## ABSTRACT:

The present invention is directed to compounds of the formula A which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras: ##STR1## The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

19 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw D
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☐ 41. Document ID: US 5874452 A

L5: Entry 41 of 48

File: USPT

Feb 23, 1999

US-PAT-NO: 5874452

DOCUMENT-IDENTIFIER: US 5874452 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Biheteroaryl inhibitors of farnesyl-protein transferase

DATE-ISSUED: February 23, 1999

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		

US-CL-CURRENT: 514/365; 514/397, 548/203, 548/205, 548/314.7, 548/315.1

## ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

28 Claims, 0 Drawing figures  
Exemplary Claim Number: 1,10,14

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. D.
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☐ 42. Document ID: US 5872136 A

L5: Entry 42 of 48

File: USPT

Feb 16, 1999

US-PAT-NO: 5872136  
DOCUMENT-IDENTIFIER: US 5872136 A

TITLE: Arylheteroaryl inhibitors of farnesyl-protein transferase

DATE-ISSUED: February 16, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		
Gomez; Robert P.	Perkasie	PA		
Graham; Samuel L.	Schwenksville	PA		

US-CL-CURRENT: 514/341; 514/256, 544/295, 544/370, 546/193, 546/194, 546/210,  
546/272.7, 548/335.5

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

30 Claims, 0 Drawing figures  
Exemplary Claim Number: 1,12,16

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. D.
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☐ 43. Document ID: US 5859035 A

L5: Entry 43 of 48

File: USPT

Jan 12, 1999

US-PAT-NO: 5859035



DOCUMENT-IDENTIFIER: US 5859035 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Arylheteroaryl inhibitors of farnesyl-protein transferase

DATE-ISSUED: January 12, 1999

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		
Gomez; Robert P.	Perkasie	PA		
Young; Steven D.	Lansdale	PA		

US-CL-CURRENT: 514/365; 514/374, 514/378, 514/397, 548/202, 548/208, 548/238,  
548/247, 548/314.4

## ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesyl of the oncogene protein Ras.

31 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWC	Draw. Data
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☐ 44. Document ID: US 5854265 A

L5: Entry 44 of 48

File: USPT

Dec 29, 1998

US-PAT-NO: 5854265

DOCUMENT-IDENTIFIER: US 5854265 A

TITLE: Biheteroaryl inhibitors of farnesyl-protein transferase

DATE-ISSUED: December 29, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		

US-CL-CURRENT: 514/341; 514/342, 546/275.1, 546/275.7

## ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase

and the farnesylation of the oncogene protein Ras.

23 Claims, 0 Drawing figures  
Exemplary Claim Number: 1,10,14

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. De
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☐ 45. Document ID: US 5854264 A

L5: Entry 45 of 48

File: USPT

Dec 29, 1998

US-PAT-NO: 5854264

DOCUMENT-IDENTIFIER: US 5854264 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: December 29, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA		
Gomez; Robert P.	Perkasie	PA		

US-CL-CURRENT: 514/341, 514/151, 514/252.05, 514/255.05, 514/256, 544/333, 544/370,  
546/272.7, 546/274.4, 546/274.7, 546/275.1

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

15 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. De
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☐ 46. Document ID: US 5840918 A

L5: Entry 46 of 48

File: USPT

Nov 24, 1998

US-PAT-NO: 5840918

DOCUMENT-IDENTIFIER: US 5840918 A

TITLE: Isoprenyl transferase inhibitors

DATE-ISSUED: November 24, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lewis; Michael D.	Andover	MA		
Kowalczyk; James J.	Andover	MA		
Christuk; Amy E.	Newbury	MA		
Fan; Rulin	Andover	MA		
Harrington; Edmund M.	Medford	MA		
Sheng; Xiaoning C.	Andover	MA		
Yang; Hu	North Andover	MA		
Garcia; Ana Maria	Belmont	MA		
Hishinuma; Ieharu	Moriya-Machi			JP
Nagasu; Takeshi	Nagakuni-Machi			JP
Yoshimatsu; Kentaro	Tsuchiura			JP

US-CL-CURRENT: 549/77; 544/162, 546/329, 549/321, 549/496, 560/9, 562/426, 564/162, 564/163, 564/193, 564/197, 564/198, 564/199, 564/204

## ABSTRACT:

Peptidomimetic compounds useful in the treatment of Ras-associated human cancers, and other conditions mediated by farnesylated or geranylgeranylated proteins; and synthetic intermediates thereof.

19 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. De
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☐ 47. Document ID: US 5767274 A

L5: Entry 47 of 48

File: USPT

Jun 16, 1998

US-PAT-NO: 5767274

DOCUMENT-IDENTIFIER: US 5767274 A

TITLE: Prenyl transferase inhibitors

DATE-ISSUED: June 16, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Kim; Sun H.	Needham	MA		

US-CL-CURRENT: 540/467; 514/183

## ABSTRACT:

A family of compounds capable of inhibiting the activity of prenyl transferases. The compounds are covered by either of the two following formulas ##STR1## Each of the R groups is defined in the disclosure.

14 Claims, 0 Drawing figures  
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. D.
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☐ 48. Document ID: US 5321030 A

L5: Entry 48 of 48

File: USPT

Jun 14, 1994

US-PAT-NO: 5321030  
DOCUMENT-IDENTIFIER: US 5321030 A

TITLE: Creatine analogs having antiviral activity

DATE-ISSUED: June 14, 1994

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Kaddurah-Daouk; Rima	Watertown	MA		
Lillie; James W.	Cambridge	MA		
Widlanski; Theodore S.	Bloomington	IN		
Burbaum; Jonathan J.	Westfield	NJ		
Forsyth; Craig J.	Arlington	MA		

US-CL-CURRENT: 514/275, 514/385, 514/386, 514/396, 514/553, 514/561, 514/563,  
514/564, 514/579, 514/631, 514/636, 514/646

ABSTRACT:

The present invention relates to the use of analogs of creatine, such as cyclocreatine, as antiviral agents. Analog of creatine can be used as antiviral agents against a variety of viruses, particularly DNA viruses, such as Herpes viruses (e.g., HSV-1, HSV-2, cytomegaloviruses, Varicella-Zoster virus) and adenovirus. The invention further relates to creatine analogs including four classes of creatine analogs selected as candidate antiviral compounds: (1) creatine analogs that can be phosphorylated by creatine kinase but differ in their phosphoryl group transfer potential, (2) bisubstrate inhibitors of creatine kinase comprising covalently linked structural analogs of adenosine triphosphate (ATP) and creatine, (3) creatine analogs which can act as irreversible inhibitors of creatine kinase, and (4) N-phosphorocreatine analogs bearing non-transferable moieties which mimic the N-phosphoryl group.

83 Claims, 38 Drawing figures  
Exemplary Claim Number: 1  
Number of Drawing Sheets: 38

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw. D.
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